L Number	Hits	Search Text	DB	Time stamp
2	419	544/48, 514/226.5	USPAT	2004/08/20 09:29
3	39075	thiazol$	USPAT	2004/08/20 09:29
4	166	(544/48, 514/226.5) and thiazol$	USPAT	2004/08/20 09:29

Day : Friday
Date: 8/20/2004

Time: 09:31:49

PALM INTRANET

Inventor Information for 10/624145

Inventor Name	City	State/Country
TRUMMLITZ, GUENTER	WARTHAUSEN	GERMANY
ENGEL, WOLFHARD	BIBERACH	GERMANY
EBERLEIN, WOLFGANG	BIBERACH	GERMANY
ENGELHARDT, GUENTHER	BIBERACH	GERMANY
VAN RYN, JOANNE	WARTHAUSEN	GERMANY

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity Data | Foreign Data

Search Another: Application# Search **or Patent#** Search

PCT / / Search **or PG PUBS #** Search

Attorney Docket # Search

Bar Code # Search

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

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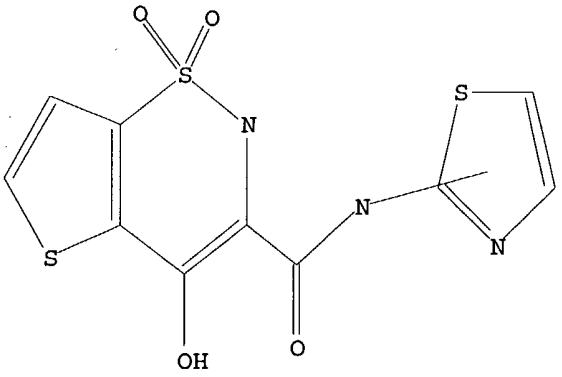
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1 TO 80
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

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SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 155.42 155.63

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FILE 'CAPLUS' ENTERED AT 06:38:50 ON 20 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 20 Aug 2004 VOL 141 ISS 8
FILE LAST UPDATED: 18 Aug 2004 (20040818/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 16 L3

=> d ibib abs hitstr tot

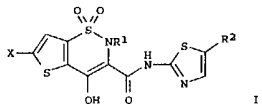
OWN WORK

L4 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:76045 CAPLUS
DOCUMENT NUMBER: 140:128425
TITLE: Preparation of 4-hydroxy-2H-thieno[2,3-e]-1,2-thiazine-3-carboxamide-1,1-dioxides as anti-inflammatory agents, analgesics, and antirheumatic agents
INVENTOR(S): Trummlitz, Guenther; Engel, Wolfhard; Eberlein, Wolfgang; Engelhardt, Guenther; Van Ryn, Joanne
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co.KG, Germany
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1384723	A1	20040128	EP 2002-16686	20020726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
WO 2004013148	A1	20040212	WO 2003-EP7930	20030721
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004087580	A1	20040506	US 2003-624145	20030721

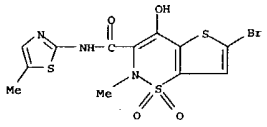
PRIORITY APPLN. INFO.: EP 2002-16686 A 20020726
US 2002-408147P P 20020904

OTHER SOURCE(S): MARPAT 140:128425
GI



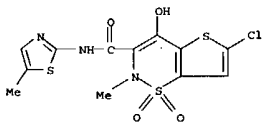
I

L4 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

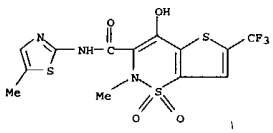


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
AB Title compds. [I; X = F, Cl, Br, CF3; R1 = H, Me, Et; R2 = Me, Et] and salts thereof were prepared as inhibitors of cyclooxygenase COX-1 and COX-2 (no data). Thus, Me 6-chloro-4-hydroxy-2-methyl-2H-thieno[2,3-e]-1,2-thiazine-3-carboxylate 1,1-dioxide and 5-methyl-2-thiazolamine in xylene were refluxed for 24 h in N2-atmosphere to give 67% 6-chloro-4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-2H-thieno[2,3-e]-1,2-thiazine-3-carboxamide-1,1-dioxide.
IT **479482-38-7P 650617-13-3P 650617-15-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxythienothiazinecarboxamidedioxides as anti-inflammatory agents, analgesics, and antirheumatic agents)
RN 479482-38-7 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

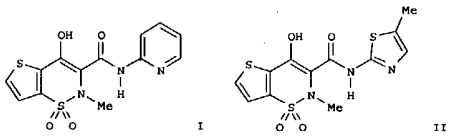


RN 650617-13-3 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-6-(trifluoromethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 650617-15-5 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-bromo-4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:324526 CAPLUS
DOCUMENT NUMBER: 139:223021
TITLE: Synthesis, X-ray structural characterization and solution studies of metal complexes containing the anti-inflammatory drugs meloxicam and tenoxicam
AUTHOR(S): Defazio, Sandra; Cini, Renzo
CORPORATE SOURCE: Department of Chemical and Biosystem Sciences and Tecnologies, University of Siena, Siena, I-53100, Italy
SOURCE: Polyhedron (2003), 22(10), 1355-1366
CODEN: PLYHDE; ISSN: 0277-5387
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:223021
GI



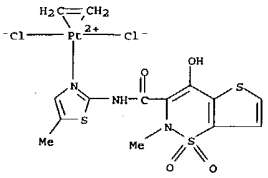
I II

AB The reaction of tenoxicam (I) (H2ten, 4-hydroxy-2-methyl-N-2-pyridyl-2H-thieno[2,3-e]-1,2-thiazine-3-carboxamide-1,1-dioxide), with M(CH3COO)2 (M = Cd, Co, Zn; 2:1 molar ratio) in hot methanol produced the microcryst. compds.: CdII(Hten)2·2CH3OH (1), CoII(Hten)2·CH3OH·3H2O (2), ZnII(Hten)2·2CH3OH (3). Single crystals of trans,trans-[CdII(Hten)2(DMSO)2] (4) were obtained on cooling hot DMSO solns. of 1. Trans-[PtCl2(η2-C2H4)(H2ten)] (5) and trans-[PtCl2(η2-C2H4)(H2mel)]·0.5C6H6 (6·0.5C6H6) were obtained from the reaction of the Zeise's salt (K[PtCl3(η2-C2H4)]·H2O) with tenoxicam and meloxicam (II) (4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-2H-1,2-benzothiazine-3-carboxamide-1,1-dioxide), resp. (1:1 molar ratio) in ethanol solution and subsequent recrystn. from benzene. Microcryst. FeII(Hmel)2·4H2O·2CH3OH (7) was prepared by reacting Fe(CH3COO)2 with H2mel in refluxing methanol at a 1:2 molar ratio, under an atmospheric of ultrapure nitrogen. The x-ray diffraction structure of 4 consists of pseudo-octahedral complex mols. in which the two chelating Hten- anions (trans to each other) occupy the equatorial positions through the O-amide (Cd-O(15), 2.214(2) Å) and the N-pyridyl (Cd-N(1'), 2.303(3) Å) atoms. The conformation is ZZZ around the C(3)-C(14), C(14)-N(16) and N(16)-C(2') bonds. The coordination sphere is completed by two oxygen atoms from two DMSO liganda at the apical positions. The sulfur atom from the thieno system as well as the SO2 function are not involved in any interactions to the metal; they contribute to the crystal packing via S···H-C and O···H-C hydrogen bond type interactions. The structures of 5 and 6 are similar each other as regards the coordination mode and overall conformation of the ligands (H2ten and H2mel, resp.). The platinum center links the nitrogen atom from pyridyl and thiazolyl

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
rings with Pt-N bond lengths 2.077(5) and 2.072(13) Å, resp. The EZE conformation of the neutral ligand mols. facilitates the formation of O(17)-H···O(15) strong intramol. hydrogen bonds. The (N(16))H···Pt intramol. contact distances are 2.54(1) (5) and 2.93(1) Å (6), suggesting that an attractive interactions may exist for 5 from van der Waals radii for H and Pt. The 1H NMR data for 1 in DMSO-d6 show a general shift towards higher fields for signals of Hten-ligand with respect to those of free H2ten. On the contrary the signals for H2ten and H2mel relevant to 5 and 6 (CDCl3) undergo significant low field shifts upon the coordination to the platinum center. It is worth note that the signal for the H(16) atom is moved downfield by 1.93 ppm for 5, and this can be related to the short intramol. Pt···H contact distance (see above).. The IR data for 5 and 6 at the solid state show intense and sharp bands at 1524 and 1528 cm-1, resp., attributable to the CH2:CH2 stretching vibration coupled to the CH2 bending mode, some 100 cm-1 lower energy than the band for free ethylene.

IT **588699-01-8P 590384-59-1P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal structure of platinum meloxicam complex)

RN 588699-01-8 CAPLUS
CN Platinum, dichloro(η2-ethene)[4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl-κN3)-2H-thieno[2,3-e]-1,2-thiazine-3-carboxamide 1,1-dioxide]-, stereoisomer (9CI) (CA INDEX NAME)

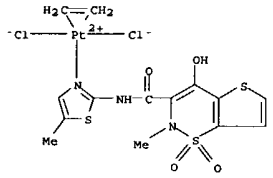


RN 590384-59-1 CAPLUS
CN Platinum, dichloro(η2-ethene)[4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl-κN3)-2H-thieno[2,3-e]-1,2-thiazine-3-carboxamide 1,1-dioxide]-, stereoisomer, compd. with benzene (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 588699-01-8
CMF C14 H15 Cl2 N3 O4 Pt S3
CCI CCS

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 71-43-2
CMF C6 H6

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:22822 CAPLUS
DOCUMENT NUMBER: 138:55971
TITLE: Preparation of thienothiazine compounds having anti-inflammatory and analgesic activities
INVENTOR(S): Li, Jing
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002503	A1	20030109	WO 2002-CN437	20020624
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1393449	A	20030129	CN 2001-118886	20010625
US 2004157835	A1	20040812	US 2003-746415	20031224
PRIORITY APPLN. INFO.:			CN 2001-118886 A	20010625
			WO 2002-CN437 A2	20020624

OTHER SOURCE(S): CASREACT 138:55971; MARPAT 138:55971
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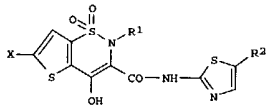
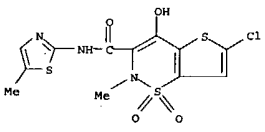


I

AB Title compds. I (R1, R2 = Me, Et, n-Pr, i-Pr, Bu; X = F, Cl, Br, MeO, OH) and their pharmaceutically acceptable salts or their solvates, useful as antiinflammatory agents and analgesics, are prepared Thus, I (R1 = R2 = Me, X = Cl) was prepared and showed antiinflammatory and analgesic activities superior to that of Meloxicam.

IT **479482-38-7P**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienothiazine compds. having anti-inflammatory and analgesic activities)

L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 479482-38-7 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:717474 CAPLUS
DOCUMENT NUMBER: 127:358868
TITLE: Preparation of thienothiazines for the treatment of inflammation and pain
INVENTOR(S): Binder, Dieter; Weinberger, Josef; Pyerin, Michael
PATENT ASSIGNEE(S): Chemisch Pharmazeutische Forschungs-Gesellschaft m.b.H., Austria
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5679678	A	19971021	US 1994-355549	19941214
AT 9302530	A	19950515	AT 1993-2530	19931214
AT 400437	B	19951227		
PRIORITY APPLN. INFO.:			AT 1991-1026	19910518
			AT 1993-2530	19931214
			AT 1993-3531	19931214

OTHER SOURCE(S): MARPAT 127:358868
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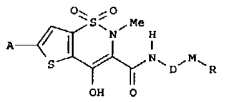


I

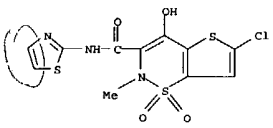
II

III

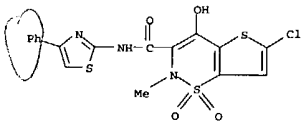
IV

AB The title compds. [I; A = lower alkyl, halo, NO2, etc.; D = 2-pyridyl, II (wherein X = CH, NR6, O, S; R6 = H, lower alkyl); M = a single bond, C1-12 carbon chain containing one or more double and/or triple bonds and/or one of the heteroatoms N, O, S, or III (wherein Z = N, O, S); R = H, -R1n-R2 (R2 = Ph, halogenated Ph, IV; R1, W = O, S; n = 0-1); MR is not H, lower alkyl when D denotes 2-pyridyl, oxazolyl or thiazolyl and A = halo], useful for

L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
the treatment of inflammation and pain, were prepd. Thus, reaction of Me 6-chloro-4-hydroxy-2-methyl-2H-thieno[2,3-e]-1,2-thiazine-3-carboxylate 1,1-dioxide with 2-thiazolamine in xylene afforded 11% I [A = Cl; DMR = 2-thiazolyl] which showed IC50 of 0.017 μM/L against prostaglandin D2 formation by neurophilis (cyclooxygenase activity) and IC50 of > 10 μM/L against leukotriene B4 formation (5-lipoxygenase activity).
IT **169759-56-2P 169759-57-3P 169759-95-9P**
169759-96-0P 169759-97-1P 169759-98-2P
169759-99-3P 169760-00-3P 169760-01-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienothiazines for the treatment of inflammation and pain)
RN 169759-56-2 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

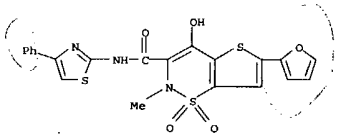


RN 169759-57-3 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-4-hydroxy-2-methyl-N-(4-phenyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

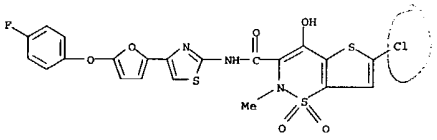


RN 169759-95-9 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-(2-furanyl)-4-hydroxy-2-methyl-N-(4-phenyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

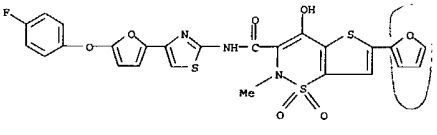
L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 169759-96-0 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-N-[4-[5-(4-fluorophenoxy)-2-furanyl]-2-thiazolyl]-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

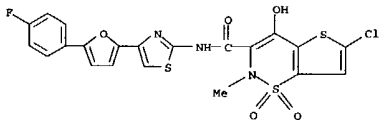


RN 169759-97-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-[4-[5-(4-fluorophenoxy)-2-furanyl]-2-thiazolyl]-6-(2-furanyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

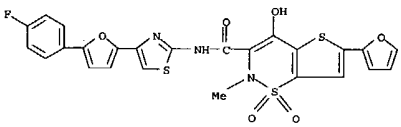


RN 169759-98-2 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-N-[4-[5-(4-fluorophenyl)-2-furanyl]-2-thiazolyl]-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

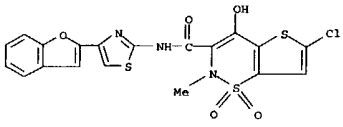
L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 169759-99-3 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-[4-[5-(4-fluorophenyl)-2-furanyl]-2-thiazolyl]-6-(2-furanyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

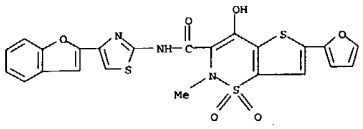


RN 169760-00-3 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-[4-(2-benzofuranyl)-2-thiazolyl]-6-chloro-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 169760-01-4 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-[4-(2-benzofuranyl)-2-thiazolyl]-6-(2-furanyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

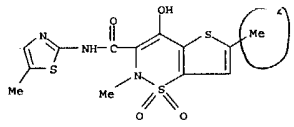
ACCESSION NUMBER: 1997:187082 CAPLUS
DOCUMENT NUMBER: 126:233098
TITLE: Effect of Structural Modification of Enol-Carboxamide-Type Nonsteroidal Antiinflammatory Drugs on COX-2/COX-1 Selectivity
AUTHOR(S): Lazer, Edward S.; Miao, Clara K.; Cywin, Charles L.; Sorcek, Ronald; Wong, Hin-Chor; Meng, Zhaoxing; Potocki, Ian; Hoermann, MaryAnn; Snow, Roger J.; Tschantz, Matt A.; Kelly, Terence A.; McNeil, Daniel W.; Coutts, Simon J.; Churchill, Laurie; Graham, Anne G.; David, Eva; Grob, Peter M.; Engel, Wolfhard; Meier, Hans; Trummlitz, Guenter
CORPORATE SOURCE: Department of Inflammatory Diseases, Boehringer Ingelheim Pharmaceuticals Inc., Ridgefield, CT, 06877, USA
SOURCE: Journal of Medicinal Chemistry (1997), 40(6), 980-989
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Meloxicam, an NSAID in the enol-carboxamide class, was developed on the basis of its antiinflammatory activity and relative safety in animal models. In subsequent screening in microsomal assays using human COX-1 and COX-2, we discovered that it possessed a selectivity profile for COX-2 superior to piroxicam and other marketed NSAIDs. We therefore embarked on a study of enol-carboxamide type compds. to determine if COX-2 selectivity and potency could be dramatically improved by structural modification. Substitution at the 6- and 7-positions of the 4-oxo-1,2-benzothiazine-3-carboxamide, alteration of the N-Me substituent, and amide modification were all examined In addition we explored several related systems including the isomeric 3-oxo-1,2-benzothiazine-4-carboxamides, thienothiazines, indolothiazines, benzothienothiazines, naphthothiazines, and 1,3- and 1,4-dioxoisoquinolines. While a few examples were found with greater potency in the COX-2 assay, no compound tested had a better COX-2/COX-1 selectivity profile than that of meloxicam.

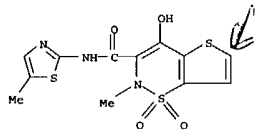
IT **188422-95-9P 188422-97-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of and cyclooxygenase inhibition by meloxicam analogs and other enol-carboxamide type compds.)

RN 188422-95-9 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2,6-dimethyl-N-(5-methyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 188422-97-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-(5-methyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

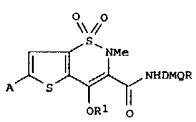


L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:896178 CAPLUS
DOCUMENT NUMBER: 123:313992
TITLE: Preparation of antiinflammatory thieno[2,3-e]-1,2-thiazine-1,1-dioxide 5-lipoxygenase and cyclooxygenase inhibitors
INVENTOR(S): Binder, Dieter; Weinberger, Josef
PATENT ASSIGNEE(S): Chemisch Pharmazeutische Forschungs-Gesellschaft m.b.H., Austria
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 658559	A1	19950621	EP 1994-119114	19941205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 9302530	A	19950515	AT 1993-2530	19931214
AT 400437	B	19951227		
AT 400567	B	19960125	AT 1993-2531	19931214
AT 400568	B	19960125	AT 1994-1026	19940518
NO 9404700	A	19950615	NO 1994-4700	19941206
CA 2137976	AA	19950615	CA 1994-2137976	19941213
CN 1109059	A	19950927	CN 1994-119307	19941213
JP 07267964	A2	19951017	JP 1994-309098	19941213
PRIORITY APPLN. INFO.:			AT 1993-2530	19931214
			AT 1993-2531	19931214
			AT 1994-1026	19940518

OTHER SOURCE(S): MARPAT 123:313992
GI



I

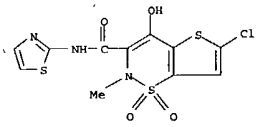
AB The title compds. [I; A = lower alkyl, perfluoro lower alkyl, alkoxy, halogen, NO2, CN, (un)substituted polycyclic aryl or heteroaryl, etc.; D = 2-pyridyl, 5-member aromatic heterocyclyl; M = direct bond, (un)substituted (un)branched (un)saturated C1-12 hydrocarbylene; Q = direct bond, O, S, N; R = H, (un)substituted (un)saturated polycyclic aryl or heteroaryl; R1 = H, (un)substituted acyloxyalkyl], useful as antiinflammatory inhibitors of 5-lipoxygenase and cyclooxygenase and as analgesics, are prepared Thus,

L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

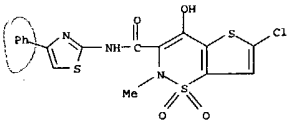
6-chloro-4-hydroxy-2-methyl-N-(2-thiazolyl)-2H-thieno[2,3-e]-1,2-thiazine-3-carboxamide-1,1-dioxide, prepd. by the reaction of 2-thiazolamine and Me
6-chloro-4-hydroxy-2-methyl-2H-thieno[2,3-e]-1,2-thiazine-3-carboxylate-1,1-dioxide, demonatrated a IC50 of 0.017 μM for the inhibition of prostaglandin D2 formation in a rat model.

IT **169759-56-2P 169759-57-3P 169759-95-9P 169759-96-0P 169759-97-1P 169759-98-2P 169759-99-3P 169760-00-3P 169760-01-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiinflammatory thieno[2,3-e]-1,2-thiazine-1,1-dioxide 5-lipoxygenase and cyclooxygenase inhibitors)

RN 169759-56-2 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

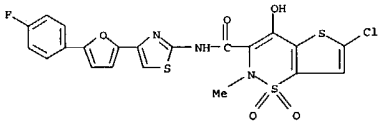


RN 169759-57-3 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-4-hydroxy-2-methyl-N-(4-phenyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

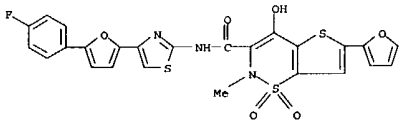


RN 169759-95-9 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-(2-furanyl)-4-hydroxy-2-methyl-N-(4-phenyl-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

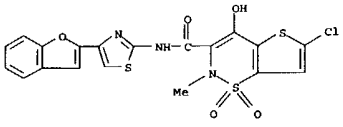
L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 169759-99-3 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-[4-[5-(4-fluorophenyl)-2-furanyl]-2-thiazolyl]-6-(2-furanyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

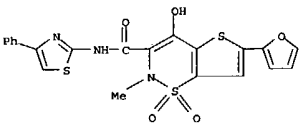


RN 169760-00-3 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-[4-(2-benzofuranyl)-2-thiazolyl]-6-chloro-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

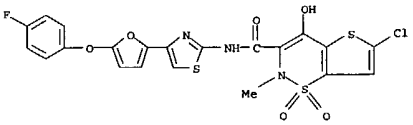


RN 169760-01-4 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-[4-(2-benzofuranyl)-2-thiazolyl]-6-(2-furanyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

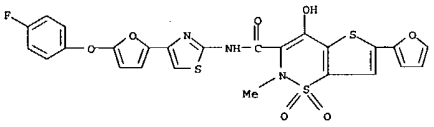
L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 169759-96-0 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-N-[4-[5-(4-fluorophenoxy)-2-furanyl]-2-thiazolyl]-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

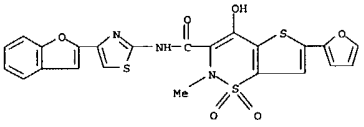


RN 169759-97-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-[4-[5-(4-fluorophenoxy)-2-furanyl]-2-thiazolyl]-6-(2-furanyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

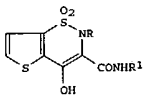


RN 169759-98-2 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 6-chloro-N-[4-[5-(4-fluorophenyl)-2-furanyl]-2-thiazolyl]-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:156380 CAPLUS
DOCUMENT NUMBER: 106:156380
TITLE: Analogs and derivatives of tenoxicam. 1. Synthesis and antiinflammatory activities of analogs with different residues on the ring nitrogen and the amide nitrogen
AUTHOR(S): Binder, Dieter; Hromatka, Otto; Geissler, Franz; Schmied, Karl; Noe, Christian R.; Burri, Kaspar; Pfister, Rudolf; Strub, Konrad; Zeller, Paul
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Wien, A-1060, Austria
SOURCE: Journal of Medicinal Chemistry (1987), 30(4), 678-82
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:156380
GI

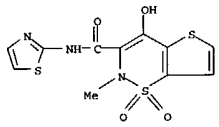


I

AB Tenoxicam I (R = Me, R1 = 2-pyridyl) and various analogs I (R = Me, R1 = Ph, substituted phenyl, azinyl, azolyl etc.;, R = H, Et, 4-MeOC6H4CH2, R1 = 2-pyridyl) were prepared This new class of oxicams has pronounced antiinflammatory and analgesic properties. The specific structure-activity relationship of isomeric and isosteric groups at the amide N was evaluated. The R group also has a great influence on the pharmacol. properties.

IT **59804-26-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiinflammatory and analgesic activities of)

RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

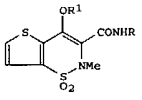


L4 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:102309 CAPLUS
DOCUMENT NUMBER: 106:102309
TITLE: 4-Hydroxy-2-methyl-2H-thieno[2,3-c]-1,2-thiazina-N-heteroarylcarboxamide 1,1-dioxides
INVENTOR(S): Aguirre Ormaza, Vicente
PATENT ASSIGNEE(S): Laboratorios Veris S. L., Spain
SOURCE: Span., 9 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 548965	A1	19860301	ES 1985-548965	19851115
PRIORITY APPLN. INFO.:			ES 1985-548965	19851115

GI

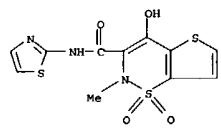


I

AB The title compds. (I; R = heteroaryl; R1 = H) were prepared as antiinflammatories (no data). Thus, 4-methoxy-2-methyl-2H-thieno[2,3-e]-1,2-thiazine 3-carboxylic acid 1,1-dioxide was amidated with 2-aminopyridine to give I (R = 2-pyridyl, R1 = Me). This was demethylated to give 80% I (R = 2-pyridyl, R1 = H; i.e. tenoxicam).

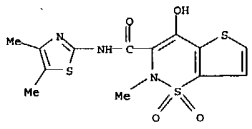
IT **59804-26-1P 106433-32-3P 106433-33-4P 106433-34-5P 106433-35-6P 106433-38-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiinflammatory)

RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

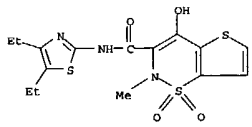


L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

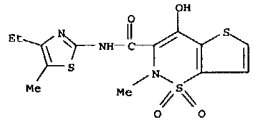
RN 106433-32-3 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-(4,5-dimethyl-2-thiazolyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 106433-33-4 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-(4,5-diethyl-2-thiazolyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

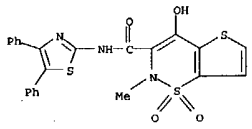


RN 106433-34-5 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-(4-ethyl-5-methyl-2-thiazolyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

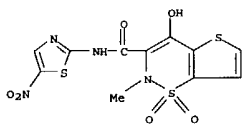


RN 106433-35-6 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, N-(4,5-diphenyl-2-thiazolyl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 106433-38-9 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-(5-nitro-2-thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

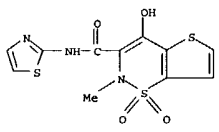


L4 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

mg/kg oral single dose and ED50 of III to protect piroxicam-induced gastric lesions was 0.32 mg/kg oral dose. A capsule was formulated contg.
piroxicam 20, III, 26.6, CaCO3 45, and PEG 158.4 parts by wt.

IT **59804-26-1**
RL: BIOL (Biological study)
(antiinflammatory formulation containing, in combination with histamine-H2
antagonist)

RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:430073 CAPLUS
DOCUMENT NUMBER: 105:30073
TITLE: Antiinflammatory drugs
INVENTOR(S): LaMattina, John Lawrence
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 178121	A2	19860416	EP 1985-307055	19851002
EP 178121	A3	19881005		
EP 178121	B1	19900613		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4636498	A	19870113	US 1985-765415	19850815
AT 53492	E	19900615	AT 1985-307055	19851002
ZA 8507787	A	19870527	ZA 1985-7787	19851009
CA 1251138	A1	19890314	CA 1985-492568	19851009
FI 8503948	A	19860412	FI 1985-3948	19851010
DK 8504638	A	19860412	DK 1985-4638	19851010
DK 165964	B	19930222		
DK 165964	C	19930726		
AU 8548485	A1	19860508	AU 1985-48485	19851010
AU 558668	B2	19870205		
HU 38837	A2	19860728	HU 1985-3942	19851010
HU 194049	B	19880128		
CN 85107521	A	19860806	CN 1985-107521	19851010
CN 1011196	B	19910116		
DD 238918	A5	19860910	DD 1985-281623	19851010
IL 76647	A1	19891031	IL 1985-76647	19851010
JP 61112017	A2	19860530	JP 1985-226666	19851011
JP 04015768	B4	19920319		
PRIORITY APPLN. INFO.:			US 1984-659752	19841011
			US 1985-765415	19850815
			EP 1985-307055	19851002

OTHER SOURCE(S): CASREACT 105:30073

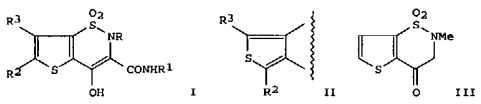
AB An antiinflammatory composition contains a nonsteroidal antiinflammatory agent
such as indomethacin or oxicam, in combination with a histamine H2 antagonist. The composition gives desirable antiinflammatory effect while
preventing or ameliorating gastrointestinal irritations and ulcers. Thus,
2-methyl-4-acetylimidazole was brominated and product reacted with N-amidinothiourea to give 2-guanidino-4-(2-methyl-4-imidazolyl)thiazole.2HBr (I). I was converted to free base (II). ID50 of
II-2HCl (III), to prevent indomethacin-induced lesions in rats was 1.4

L4 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:103393 CAPLUS
DOCUMENT NUMBER: 94:103393
TITLE: Thienothiazine derivatives
INVENTOR(S): Hromatka, Otto; Binder, Dieter; Pfister, Rudolf; Zeller, Paul
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Patentschrift (Switz.), 6 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 619236	A	19800915	CH 1975-10609	19750814
CH 620446	A	19801128	CH 1979-10199	19791115
PRIORITY APPLN. INFO.:			CH 1975-10609	19750814

GI

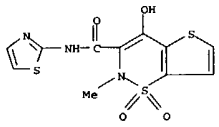


AB Thienothiazine dioxides I and II (R = alkyl; R1 = optionally substituted heterocyclic; R2, R3 = H, alkyl) were prepared Thus, III, prepared by cyclizing 3-methylsulfamoyl-2-chloroacetylthiophene (IV), was treated with
2-thiazolyl isocyanate to give I (R = Me, R1 = 2-thiazolyl, R2 = R3 = H; V). IV was prepared from Me 3-hydroxy-2-thiophenecarboxylate in 7 steps. V
caused 43% inhibition of kaolin edema and 23% increase in the pain threshold at 10 mg/kg orally in rats.

IT **59804-26-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic and antiinflammatory activity of)

RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

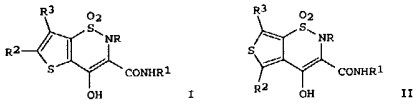
L4 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:620761 CAPLUS
DOCUMENT NUMBER: 93:220761
TITLE: Thienothiazine derivatives
INVENTOR(S): Hromatka, Otto; Binder, Dieter; Pfister, Rudolf; Zeller, Paul
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Patentschrift (Switz.), 6 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

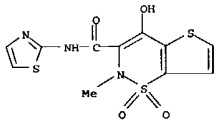
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 617705	A	19800613	CH 1975-8963	19750709
CA 1066711	A1	19791120	CA 1975-233452	19750814
CA 1050539	A1	19790313	CA 1975-233819	19750820
ES 440426	A1	19770701	ES 1975-440426	19750825
US 4230873	A	19801028	US 1977-773716	19770302
US 4134898	A	19790116	US 1977-852385	19771117
US 4224445	A	19800923	US 1978-955568	19781030
CH 621791	A	19810227	CH 1979-10087	19791112
PRIORITY APPLN. INFO.:			CH 1974-11582	19740826
			CH 1974-12157	19740909
			CH 1975-8963	19750709
			US 1975-606563	19750821
			US 1975-606656	19750821
			US 1978-852385	19781117

GI



AB The title compds. I and II [R = alkyl; R1 = (substituted) Ph or heteroaryl; R2, R3 = H, alkyl] were prepared for use as antiinflammatory and analgesic agents. Thus, Me 3-(methylsulfamoyl)-2-thiophenecarboxylate reacted with 2-(chloroacetylamino)thiazole, followed by treatment with NaH to give I (R = Me, R1 = 2-thiazolyl, R2 = R3 = H), which at 10 mg/kg gave

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
43% redn. of kaolin-induced rat paw edema.
IT **59804-26-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic and antiinflammatory activity of)
RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:128943 CAPLUS
DOCUMENT NUMBER: 92:128943
TITLE: Thienothiazine derivatives
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Austrian, 7 pp. Division of Aust. 350,064.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 7805051	A	19790315	AT 1978-5051	19780712
AT 352747	B	19791010		
AT 350064	B	19790510	AT 1975-6559	19750825
AT 7506559	A	19781015		
ES 545635	A3	19860516	ES 1985-545635	19850729
PRIORITY APPLN. INFO.:			AT 1975-6559	19750825
			CH 1974-11582	19740826
			CH 1974-12157	19740909

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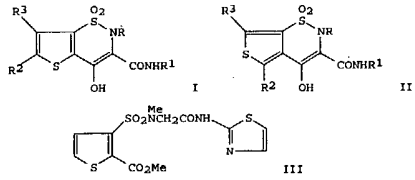
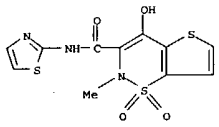


AB Thienothiazine dioxides I and II (R = lower alkyl, R1 = lower alkyl, aromatic, heterocyclyl, halo, HO, CF3, alkoxyphenyl; R2, R3 = H, lower alkyl) were prepared Thus, treating 2-aminothiazole with ClCH2COCl gave 2-chloroacetamidothiazole whose condensation with Me 3-(methylsulfamoyl)thiophene-2-carboxylate gave III which cyclized to give I (R = Me, R1 = 2-thiazolyl, R2 = R3 = H)(IV). IV had a LD50 900 mg/kg p.o. in mice and at 3 mg/kg p.o. gave 43% edema inhibition.
IT **59804-26-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

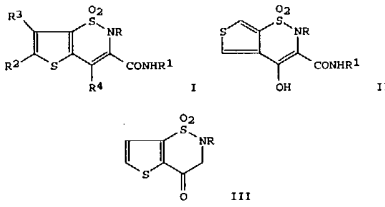
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:111041 CAPLUS
DOCUMENT NUMBER: 92:111041
TITLE: Thienothiazine derivatives
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Austrian, 8 pp. Division of Aust. 350,064.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 7805048	A	19790315	AT 1978-5048	19780712
AT 352744	B	19791010		
AT 350064	B	19790510	AT 1975-6559	19750825
AT 7506559	A	19781015		
ES 545635	A3	19860516	ES 1985-545635	19850729
PRIORITY APPLN. INFO.:			AT 1975-6559	19750825
			CH 1974-11582	19740826
			CH 1974-12157	19740909

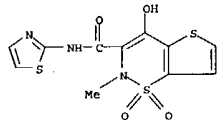
GI



AB Thienothiazine dioxides I and II (R = lower alkyl, R1 = lower alkyl, aromatic heterocyclyl, halo, HO, CF3, alkoxyphenyl; R2, R3 = H, lower alkyl, R4 = OH) were prepared Thus, treating III (R = Me) sequentially with pyrrolidine, COCl2 and 2-aminothiazole gave enamine I (R = Me, R1 = 2-thiazolyl, R2 = R3 = H, R4 = pyrrolidino) whose acid hydroylsis gave I (R4 = OH)(IV). IV had a LD50 900 mg/kg p.o. in mice and at 10 mg/kg p.o. gave 43% edema inhibition.

IT **59804-26-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

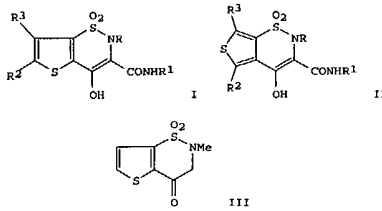
L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:111040 CAPLUS
DOCUMENT NUMBER: 92:111040
TITLE: Thienothiazine derivatives
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Austrian, 8 pp. Division of Aust. 350,064.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 7805049	A	19790315	AT 1978-5049	19780712
AT 352745	B	19791010		
AT 350064	B	19790510	AT 1975-6559	19750825
AT 7506559	A	19781015		
ES 545635	A3	19860516	ES 1985-545635	19850729
PRIORITY APPLN. INFO.:			AT 1975-6559	19750825
			CH 1974-11582	19740826
			CH 1974-12157	19740909

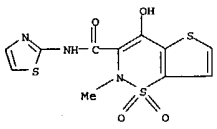
GI



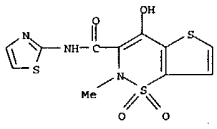
AB Thienothiazine dioxides I and II (R = lower alkyl, R1 = lower alkyl, aromatic heterocyclyl, halo, HO, CF3, alkoxyphenyl; R2, R3 = H, lower alkyl) were prepared Thus, treating III, prepared from Me 3-(methylaulfamoyl)thiophenecar boxylate by saponification, chloromethylation, and cyclization, with PhNCO gave I (R = Me, R1 = Ph, R2 = R3 = H). I (R = Me, R1 = 2-thiazolyl, R2 = R3 = H) had a LD50 900 mg/kg p.o. in mice and at 10 mg/kg p.o. gave 43% edema inhibition.

IT **59804-26-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

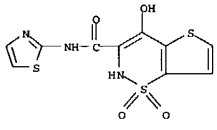
L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiinflammatory activity of)
RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



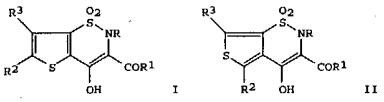
IT **59804-49-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 59804-49-8 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:111039 CAPLUS
DOCUMENT NUMBER: 92:111039
TITLE: Thienothiazine derivatives
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Austrian, 7 pp. Division of Aust. 350,064.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 7805050	A	19790315	AT 1978-5050	19780712
AT 352746	B	19791010		
AT 350064	B	19790510	AT 1975-6559	19750825
AT 7506559	A	19781015		
ES 545635	A3	19860516	ES 1985-545635	19850729
PRIORITY APPLN. INFO.:			AT 1975-6559	19750825
			CH 1974-11582	19740826
			CH 1974-12157	19740909

GI



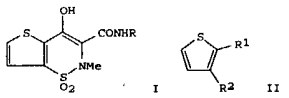
AB Thienothiazine dioxides I and II (R = lower alkyl, R1 = lower alkyl, heterocyclyl, halo, HO, CF3, alkoxyphenyl; R2, R3 = H, lower alkyl) were prepared Thus, refluxing I (R = H, R1 = OEt, R2 = R3 = H) with 2-aminothiazole in xylene 7 h gave I (R1 = 2-thiazolylamino), which was methylated by MeI to give I (R = Me, R1 = 2-thiazolylamino, R2 = R3 = H) (III). III had LD50 = 900 mg/kg p.o. in mice and at 10 mg/kg p.o. gave 43% edema inhibition.
IT **59804-26-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory activity of)
RN 59804-26-1 CAPLUS
CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:463077 CAPLUS
DOCUMENT NUMBER: 85:63077
TITLE: Thienothiazine derivatives
INVENTOR(S): Hromatka, Otto; Binder, Dieter; Pfister, Rudolf; Zeller, Paul
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co., A.-G., Switz.
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2537070	A1	19760318	DE 1975-2537070	19750820
DE 2537070	C2	19870625		
CH 608500	A	19790115	CH 1974-11582	19740826
CH 608501	A	19790115	CH 1974-12157	19740909
ZA 7505055	A	19760728	ZA 1975-5055	19750805
IL 47877	A1	19781031	IL 1975-47877	19750805
AU 7584186	A1	19770224	AU 1975-84186	19750821
FR 2282893	A1	19760326	FR 1975-25999	19750822
FR 2282893	B1	19800516		
BE 832707	A1	19760225	BE 1975-159429	19750825
SE 7509446	A	19760227	SE 1975-9446	19750825
SE 412066	C	19800605		
DK 7503811	A	19760227	DK 1975-3811	19750825
DK 137835	C	19781016		
DD 124119	C	19770202	DD 1975-188010	19750825
HU 173739	P	19780828	HU 1975-HO1829	19750825
NO 7502932	A	19760227	NO 1975-2932	19750826
NO 146096	B	19820419		
NO 146096	C	19820728		
FI 7502398	A	19760227	FI 1975-2398	19750826
FI 59253	B	19810331		
FI 59253	C	19810710		
NL 7510057	A	19760301	NL 1975-10057	19750826
NL 183582	B	19880701		
NL 183582	C	19881201		
JP 51048694	A2	19760426	JP 1975-102635	19750826
JP 58026758	B4	19830604		
BR 7505463	A	19760803	BR 1975-5463	19750826
PL 106076	P	19791130	PL 1975-182921	19750826
FR 2303803	A1	19761008	FR 1976-15424	19760521
FR 2303803	B1	19790713		
FR 2309558	A1	19761126	FR 1976-15425	19760521
FR 2309558	B1	19790504		
US 4177293	A	19791204	US 1978-955567	19781030
PRIORITY APPLN. INFO.:			CH 1974-11582	19740826
			CH 1974-12157	19740909
			US 1975-606563	19750821
			US 1978-852385	19781117

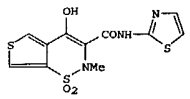
GI

L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

II



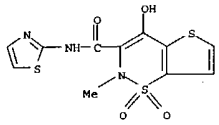
III

AB Thienothiazines I (R = Ph, substituted phenyl, N heterocycle) were prepared by chlorinating II (R1 = CO2Me, R2 = OH), sulfonating II (R1 = CO2H, R2 = Cl), converting II (R1 = CO2H, R2 = SO3K) to the acid, esterifying, chlorinating II (R1 = CO2Me, R2 = SO3H), treating II (R1 = CO2Me, R2 = SO2Cl) with MeNHCH2CO2Et, cyclizing II (R1 = CO2Me, R2 = SO2NMeCH2CO2Et), and treating the ester with RNH2. III was similarly prepared I (R = 2-thiazolyl) at 3 mg/kg orally in rats gave 20% inhibition of kaolin edema and a 4% increase in the pain threshold.

IT **59804-26-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic and antiinflammatory activity of)

RN 59804-26-1 CAPLUS

CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



IT **59804-49-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)

L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 59804-49-8 CAPLUS

CN 2H-Thieno[2,3-e]-1,2-thiazine-3-carboxamide, 4-hydroxy-N-2-thiazolyl-, 1,1-dioxide (9CI) (CA INDEX NAME)